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purine ammonolysis tosyl nucleophilic aromatic

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[Synthesis and reactivity of 7-azaindole \(1H-pyrrolo \[2, 3-b\] pyridine\) - all 2 versions »](#)

F Popowycz, S Routier, B Joseph, JY Mérour - Tetrahedron, 2007 - Elsevier  
 ... a bioisostere of an indole or **purine** moiety ... Pyrrole generation by **nucleophilic** cyclization of 2-chloro-3 ... 5-endo-dig iodocyclization of N-**tosyl**-2-alkynylpyridines ...  
[Cited by 2](#) - [Related Articles](#) - [Web Search](#)

[Methods of manufacture of 2'-deoxy-beta-L-nucleosides](#)

JA Rabi - 2004 - freepatentsonline.com  
 ... of a silylated pyrimidine or **purine** base to ... with a sulfonyl halide, such as **tosyl** chloride, followed ... The **ammonolysis** is regioselective leading to mixtures of ...  
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[1, 4-addition to enones 380 addition to triple bonds 193 additive 205 Ag \(I\)-salt 94 aging period ...](#)

OP Knochel - media.wiley.com  
 ... 476 **aromatic** CH borylation 55 **aromatic** ketones, cobalt ... aryl-aryl cyclization 214 aryl-**tosylate** 405 aryl ... coupling 516 copper-catalyzed **nucleophilic** borylation 92 ...  
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[Antiviral and anticancer cyclopentenyl cytosine - all 2 versions »](#)

VE Marquez, JS Driscoll, MI Lim, CK Tseng, A Haces ... - US Patent 4,975,434, 1990 - Google Patents  
 ... ation and **ammonolysis**, produces identical results. ... i yxo - , and dideoxy analogues of **purine**, pyrimidine or ... such as a **tosylate**, which constitutes a key step in ...  
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[Chemistry \(XII SINAQO\), Los Cocos, Cordoba, Argentina, 14-17 November 1999 - all 17 versions »](#)

CJ Salomon, GR Labadie - Molecules, 2000 - cn2.mdpi.net  
 ... **Substitution** Molecules 2000, 5, 388-390 ... N,N-Diethyl-1-**Tosyl**-3-Indoleglyoxylamide as a Dienophile ... Reaction of 2,4-Dinitrochlorobenzene with **Aromatic** Amines in ...  
[Related Articles](#) - [View as HTML](#) - [Web Search](#)

[3-deazaneplanocin, intermediates for it, and antiviral composition and method of treatment using it - all 2 versions »](#)

VE Marquez, JS Driscoll, MI Lim, CK Tseng, A Haces ... - US Patent 4,968,690, 1990 - Google Patents  
 ... ation and **ammonolysis**, produces identical results. ... with an intact imidazo[4,5-d]pyrimidine (**purine**) ring cancer chemotherapy. ... **tosylate**. ...  
[Web Search](#)

[Synthetic Studues Toward Cmi-977, Scyphoststin,\(R\)-\(-\)-Phenylephrine And Herbicidin \(2000\)](#)

LM Krishna - 2005 - dspace.ncl.res.in  
 ... 17 16 O O F The **nucleophilic** displacement of the sulfone 18 with 4-tetrahydropyranyloxy-

1- butynylmagnesium bromide in the presence of anhydrous ZnBr ...

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### Synthesis and Structural Analysis of Oxadiazole Carboxamide

Deoxyribonucleoside Analogs - all 5 versions »

O Adelfinskaya, V Jo Davisson, DE Bergstrom - Nucleosides, Nucleotides & Nucleic Acids, 2005 - Taylor & Francis

... oyl protecting groups and **ammonolysis** of the carboxylic ester ... a nucleoside diphosphate

by a **nucleophilic** displacement of a 5 leaving group (**tosyl** group, Ts ...

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### [BOOK] Development of a new PNA analogue as a potential antisense drug and tool for life-science studies

A Slaitas - diss.kib.ki.se

... methylpyrimidin-2,4-dione) Trt Trityl (triphenylmethyl) Ts 4-Methylbenzenesulfonyl (**tosyl**) ... Homopyrimidine PNAs or PNAs with a high pyrimidine:purine ratio bind ...

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### Amino Acids

UK Nottingham - rsc.org

... N-Methyl pseudoephedrine has also been used 52 as a chiral auxiliary, by mediating a dynamic resolution of  $\alpha$ -bromo- $\alpha$ -alkyl esters in **nucleophilic substitution**. ...

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A Semenyuk - diva-portal.org

... Dnseoc 2-([5-(dimethylamino)naphthalen-1-yl]**sulfonyl**)ethoxycarbonyl ... exocyclic amino groups of cytidine, adenosine and **guanosine**; phosphoramidite moiety; and 2 ...[Related Articles](#) - [View as HTML](#) - [Web Search](#)[A new approach to the synthesis of branched and branched cyclic oligoribonucleotides - all 5 versions »](#)

CB Reese, Q Song, O Journals - Nucleic Acids Research - Oxford Univ Press

... was treated with 1-(mesitylene-2-**sulfonyl**)-3-nitro ... of adenosine (A), cytidine (C) and **guanosine** (G ... and solvents were used and, following the **ammonolysis** step, an ...[Cited by 7](#) - [Related Articles](#) - [Web Search](#) - [BL Direct](#)[Untitled - all 3 versions »](#)

JA Zablocki, EO Elzein, VP Palle - US Patent 7,109,180, 2006 - Google Patents

... of 2-Heteroaryl Substituted Adenosine and 8-Heteroaryl Substituted **Guanosine** Derivatives", Bioorganic ... include oxidized S or N, such as sulfinyl, **sulfonyl** and ...[Web Search](#)[Methods of manufacture of 2'-deoxy-beta-L-nucleosides](#)

JA Rabi - 2004 - freepatentsonline.com

... directly a carbonate at the 3'-OH of **guanosine**. ... the di-BOC derivative, with a **sulfonyl** halide, such as ... The **ammonolysis** is regioselective leading to mixtures of ...[Cached](#) - [Web Search](#)[Oligonucleotide syntheses on insoluble polymer supports. III. Fifteen di \(deoxyribonucleoside\) ...](#)

LR Melby, DR Strobach - The Journal of Organic Chemistry, 1969 - pubs.acs.org

Page 1. Vol. 34 So. 2, February 1969 DI(DEOXYRIBONUCLEOSIDE) MONOPHOSPHATES 427 period of time, and the mixture was then filtered ...

[Web Search](#)[Nucleosides of 1, 4-thiazin-3-one and derivatives as tetrahedral intermediate analogs of enzymes in ... - all 3 versions »](#)

ET Marcus, A Gundy, CH Levenson, RB Meyer Jr - Journal of Medicinal Chemistry, 1988 - pubs.acs.org

... 7-ene (DBU) gave ethyl 2- [(2,2-dieth- oxyethyl)thiolacetate (3). **Ammonolysis** of the ... sulfinylacetamide (12) or 2-[(2,2-dieth- oxyethyl)**sulfonyl**]acetamide (15 ...[Web Search](#)[Artificial DNA base pair analogues - all 5 versions »](#)

HP Rappaport - US Patent 5,126,439, 1992 - Google Patents

... 4,4'-dimethoxytrityldeoxy-**guanosine** were synthesized by standard methods(Narang, et al., inMethods in ... was added to 13 mg of 1-(mesitylene-2-**sulfonyl**)-3-nitro-1,2 ...[Cited by 3](#) - [Related Articles](#) - [Web Search](#)

Compounds with the bicyclo [4.2. 1] nonane system for the treatment of flaviviridae infections

P Wang, LJ Stuyver, KA Watanabe, A Hassan, BK Chun ... - 2004 - freepatentsonline.com  
... Ribavirin is structurally similar to **guanosine**, and has in vitro activity ... cyano, azido, thiol, imine, sulfonic acid, sulfate, **sulfonyl**, sulfanyl, sulfinyl ...

[Cached](#) - [Web Search](#)

MYOCARDIAL PERFUSION IMAGING USING A2A RECEPTOR AGONISTS

- all 3 versions »

L BELARDINELLI - EP Patent 1,524,984, 2005 - freepatentsonline.com  
... oxidized S or N, such as sulfinyl, **sulfonyl** and N ... HO OH TBDMSO 'OTBDMS II VII **guanosine**

2 following ... **Ammonolysis** in 2-propanol gave 2-stannyladenosine 1. Stille'...

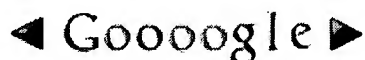
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Synthesis and Purification of Oligonucleotide N3'→ P5' Phosphoramidates and their Phosphodiester ...

O Base - doi.wiley.com

... pnODNs using the hydrophobicity of the trityl group (Tr) is problematic because once the cyanoethyl groups are removed during **ammonolysis**, the phosphoramidate ...

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sulfonyl guanosine ammonolysis

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Ammonia, reactions 10025-87-3, Phosphorus oxychloride 16321-99-6  
59921-49-2 69992-10-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-halo-2'-deoxyadenosine compds. from 2'-deoxyguanosine)

IT 56-37-1, Benzyltriethylammonium chloride 121699-36-3,  
Benzyltriethylammonium nitrite

RL: RGT (Reagent); RACT (Reactant or reagent)

(preparation of 2-halo-2'-deoxyadenosine compds. from 2'-deoxyguanosine)

ALL ANSWERS HAVE BEEN SCANNED

=> s l5 and py<=2003

23937751 PY<=2003

L6 2 L5 AND PY<=2003

=> d l5 1-3 ibib abs hit

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:290468 CAPLUS <<LOGINID::20070927>>

DOCUMENT NUMBER: 140:321651

TITLE: Process for preparing 2-halo-2'-deoxyadenosine  
compounds from 2'-deoxyguanosine

INVENTOR(S): Robins, Morris J.; Janeba, Zlatko; Francom, Paula

PATENT ASSIGNEE(S): Brigham Young University, Technology Transfer Office,  
USA

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

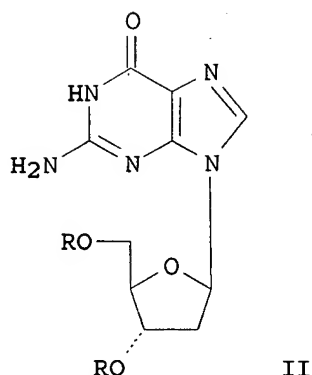
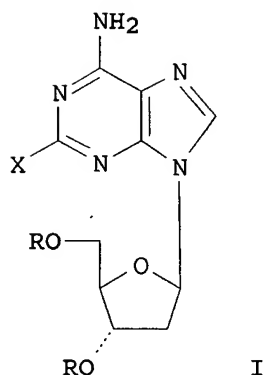
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028462	A2	20040408	WO 2003-US30386	20030925
WO 2004028462	A3	20040610		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2540158	A1	20040408	CA 2003-2540158	20030925
AU 2003275267	A1	20040419	AU 2003-275267	20030925
EP 1556400	A2	20050727	EP 2003-759541	20030925
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006508183	T	20060309	JP 2005-501990	20030925
US 2007032645	A1	20070208	US 2006-529106	20061009
PRIORITY APPLN. INFO.:			US 2002-413915P	P 20020925
			US 2002-416329P	P 20021004
			WO 2003-US30386	W 20030925

OTHER SOURCE(S): MARPAT 140:321651

GI



AB The present invention discloses a method for preparing 2-halo-6-aminopurines, such as I [R = H, protecting group; X = halogen] and more specifically for preparing the clin. agent cladribine I [R = H; X = Cl], a drug of choice against hairy-cell leukemia and other neoplasms, from 2-amino-6-oxopurines, such as II [R = COMe, CPh (III)]. According to the methods of the present invention, the 6-oxo group of III is converted to a 6-(substituted oxy) leaving group, or alternatively to a 6-chloro leaving group, the 2-amino group is replaced with a 2-chloro group, the 6-(substituted oxy) leaving group, or alternatively the 6-chloro leaving group, is replaced with a 6-amino group or, alternatively, a 2,6-dichloro substituted compound is selectively replaced group, and the protecting groups are removed.

IT Diazotization

(preparation of 2-halo-2'-deoxyadenosine compds. from 2'-deoxyguanosine)

IT 3056-18-6P 4291-63-8P 40896-58-0P 500225-58-1P  
500225-59-2P 500225-60-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)

(preparation of 2-halo-2'-deoxyadenosine compds. from 2'-deoxyguanosine)

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:24857 CAPLUS <<LOGINID::20070927>>

DOCUMENT NUMBER: 138:205287

TITLE: Efficient Syntheses of 2-Chloro-2'-deoxyadenosine  
(Cladribine) from 2'-Deoxyguanosine

AUTHOR(S): Janeba, Zlatko; Francom, Paula; Robins, Morris J.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Brigham  
Young University, Provo, UT, 84602-5700, USA

SOURCE: Journal of Organic Chemistry (2003), 68(3), 989-992  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:205287

AB We report efficient syntheses of the clin. agent cladribine (2-chloro-2'-deoxyadenosine, CldAdo), which is the drug of choice against hairy-cell leukemia and other neoplasms, from 2'-deoxyguanosine. Treatment of 3',5'-di-O-acetyl- or benzoyl-2'-deoxyguanosine (I) with 2,4,6-tri-isopropyl- or 4-methylbenzenesulfonyl chloride gave high yields of the 6-O-arylsulfonyl derivs. Deoxy-chlorination at C6 of I also proceeded to give the 2-amino-6-chloropurine derivative in excellent yields.

The nonaq. diazotization/chloro de-diazonation (acetyl chloride/benzyltriethylammonium nitrite) of 6-O-arylsulfonyl derivs. gave the 2-chloropurine derivs. The selective ammonolysis at C6 (arylsulfonate or chloride) and accompanying deprotection of the sugar moiety gave CldAdo (64-75% overall yield from I).

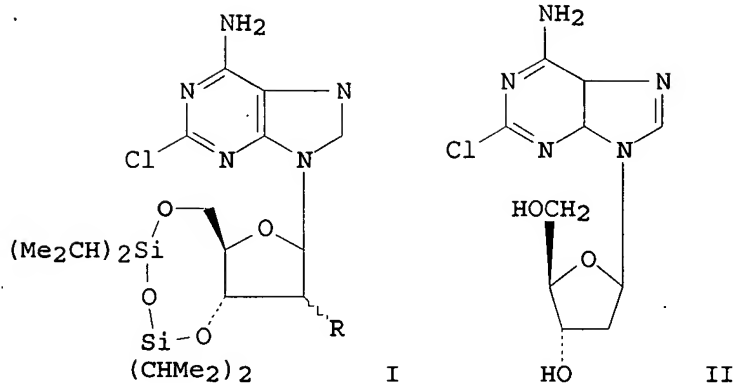
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB We report efficient syntheses of the clin. agent cladribine (2-chloro-2'-deoxyadenosine, CldAdo), which is the drug of choice against hairy-cell leukemia and other neoplasms, from 2'-deoxyguanosine. Treatment of 3',5'-di-O-acetyl- or benzoyl-2'-deoxyguanosine (I) with 2,4,6-tri-isopropyl- or 4-methylbenzenesulfonyl chloride gave high yields of the 6-O-arylsulfonyl derivs. Deoxy-chlorination at C6 of I also proceeded to give the 2-amino-6-chloropurine derivative in excellent yields. The nonaq. diazotization/chloro de-diazonation (acetyl chloride/benzyltriethylammonium nitrite) of 6-O-arylsulfonyl derivs. gave the 2-chloropurine derivs. The selective ammonolysis at C6 (arylsulfonate or chloride) and accompanying deprotection of the sugar moiety gave CldAdo (64-75% overall yield from I).

IT 4291-63-8P, Cladribine 500225-59-2P 500225-60-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (syntheses of 2-chloro-2'-deoxyadenosine (Cladribine) from 2'-deoxyguanosine via regioselective deoxychlorination and ammonolysis)

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1993:560729 CAPLUS <<LOGINID::20070927>>  
 DOCUMENT NUMBER: 119:160729  
 TITLE: Preparation of intermediates for 2-chloro-2'-deoxyadenosine  
 INVENTOR(S): Chen, Robert H. K.  
 PATENT ASSIGNEE(S): Ortho Pharmaceutical Corp., USA  
 SOURCE: U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 810,992, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5208327	A	19930504	US 1992-869689	19920416
AU 9230122	A	19930701	AU 1992-30122	19921211
AU 653457	B2	19940929		
CA 2085503	A1	19930619	CA 1992-2085503	19921216
CA 2085503	C	19970819		
JP 05255378	A	19931005	JP 1992-353918	19921216
EP 547910	A1	19930623	EP 1992-311564	19921217
EP 547910	B1	19970305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
ZA 9209792	A	19940617	ZA 1992-9792	19921217
AT 149509	T	19970315	AT 1992-311564	19921217
ES 2101053	T3	19970701	ES 1992-311564	19921217
PRIORITY APPLN. INFO.:			US 1991-810992	B2 19911218
			US 1992-869689	A 19920416
OTHER SOURCE(S):			CASREACT 119:160729; MARPAT 119:160729	
GI				



AB Silylated nucleosides I [R = OH, H, OC(S)Z; Z = R1, YR1; Y = O, S; R1 = C1-5 straight- or branched-chain alkyl or Ph] are prepared as intermediates for the title compound (II). Thus, 2-chloroadenosine was treated with 1,3-dichloro-1,1,3,3-tetraisopropylidisiloxane in pyridine to give 63% I (R = OH), which was treated with ClC(S)OPh and 4-dimethylaminopyridine in MeCN to give 56% I [R = OC(S)OPh]. Sequential reduction of the latter compound with Bu3SnH-AIBN in C6H6 and desilylation with Bu4N+ F- in THF gave 44% II.

IT 16321-99-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and sequential diazotization and chlorination of)

IT 146-77-0P, 2-Chloroadenosine

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and silylation-cyclocondensation of, with dichlorotetraisopropyl disiloxane)

IT 4291-63-8P, 2-Chloro-2'-deoxyadenosine

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

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FILE 'CAPLUS' ENTERED AT 08:36:10 ON 27 SEP 2007

FILE 'STNGUIDE' ENTERED AT 08:36:18 ON 27 SEP 2007

FILE 'CAPLUS' ENTERED AT 08:37:04 ON 27 SEP 2007

L1 : 56 S 146-77-0/PREP OR 4291-63-8/PREP OR 81012-94-4/PREP  
L2 2129 S 118-00-3/RACT OR 73-40-5/RACT  
L3 4 S L1 AND L2  
L4 3 S L3 AND PY<=2003  
L5 3 S L1 AND ?DIAZOTIZATION  
L6 2 S L5 AND PY<=2003

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
48.91	49.65

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
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